

10/049,504

=> file caplus.

FILE 'CAPLUS' ENTERED AT 15:19:48 ON 15 JAN 2003

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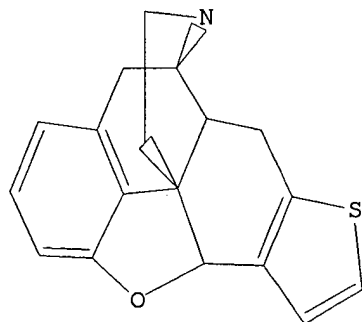
FILE COVERS 1907 - 15 Jan 2003 VOL 138 ISS 3

FILE LAST UPDATED: 14 Jan 2003 (20030114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L4 STR



Structure attributes must be viewed using STN Express query preparation.

L6 7 SEA FILE=REGISTRY SSS FUL L4

L7 1 SEA FILE=CAPLUS L6

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L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:137031 CAPLUS

DOCUMENT NUMBER: 134:178714

TITLE: Preparation of pyridomorphinans and thienomorphinans

INVENTOR(S): Ananthan, Subramaniam

PATENT ASSIGNEE(S): Southern Research Institute, USA

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

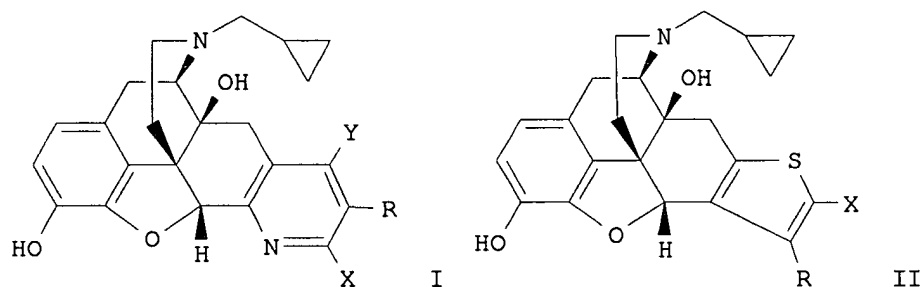
PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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 WO 2001012197 A1 20010222 WO 2000-US22094 20000814  
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 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1210084 A1 20020605 EP 2000-953999 20000814  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL  
 PRIORITY APPLN. INFO.: US 1999-148581P P 19990813  
 WO 2000-US22094 W 20000814  
 OTHER SOURCE(S): MARPAT 134:178714  
 GI



AB The title compds. I and II (Y, X and R individually is selected from the group consisting of H, HO, halo, CF<sub>3</sub>, NO<sub>2</sub>, CN, NH<sub>2</sub>, COR<sub>1</sub> and CO<sub>2</sub>R<sub>2</sub>; R<sub>1</sub> = alkyl, aryl, alkaryl, NH<sub>2</sub>; R<sub>2</sub> = alkyl, aryl, aralkyl; at least one of Y, X, and R is other than H) and their pharmaceutically acceptable salts were prepd. as analgesics, immunomodulators, and for treating drug abuse. Thus, nalrexone was treated with 2-bromo-3-(dimethylamino)acrolein to give I (R = Br, X = Y = H). The opioid receptor binding affinity K<sub>i</sub> (nM) for .delta. and .mu. receptors of I (R = Br, X = Y = H) in homogenates of rat brain membranes were 1.2 .+- . 0.13 and 15 .+- . 1.0, resp.

IT **326854-29-9P 326854-30-2P 326854-31-3P**  
**326854-32-4P 326854-33-5P 326854-34-6P**  
**326854-35-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

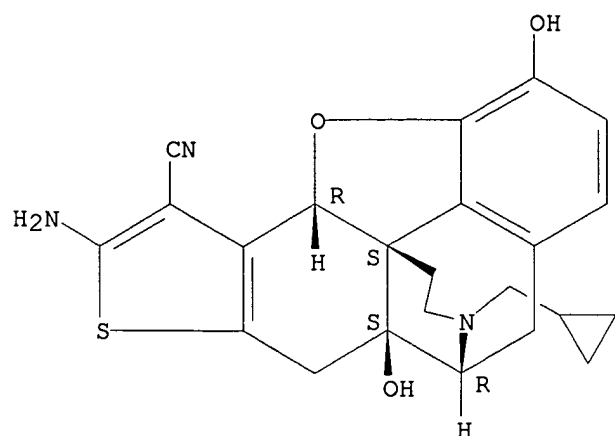
(prepn. of pyridomorphinans and thienomorphinans as analgesics and immunomodulators)

RN 326854-29-9 CAPLUS

CN 4,8-Methano-5H-benzofuro[3,2-e]thieno[3,2-g]isoquinoline-12-carbonitrile, 11-amino-7-(cyclopropylmethyl)-6,7,8,8a,9,12b-hexahydro-1,8a-dihydroxy-, (4bS,8R,8aS,12bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

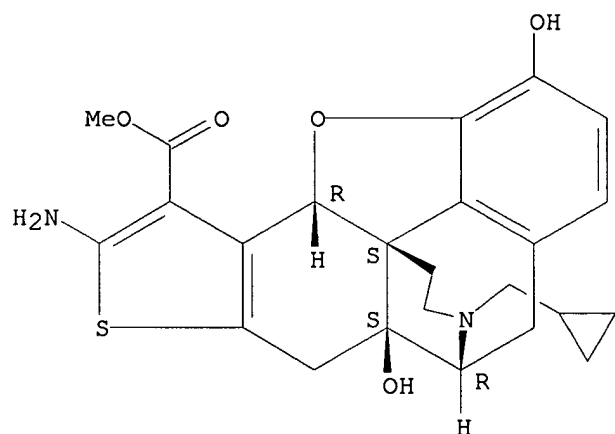
10/049,504



RN 326854-30-2 CAPLUS

CN 4,8-Methano-5H-benzofuro[3,2-e]thieno[3,2-g]isoquinoline-12-carboxylic acid, 11-amino-7-(cyclopropylmethyl)-6,7,8,8a,9,12b-hexahydro-1,8a-dihydroxy-, methyl ester, (4bS,8R,8aS,12bR)- (9CI) (CA INDEX NAME)

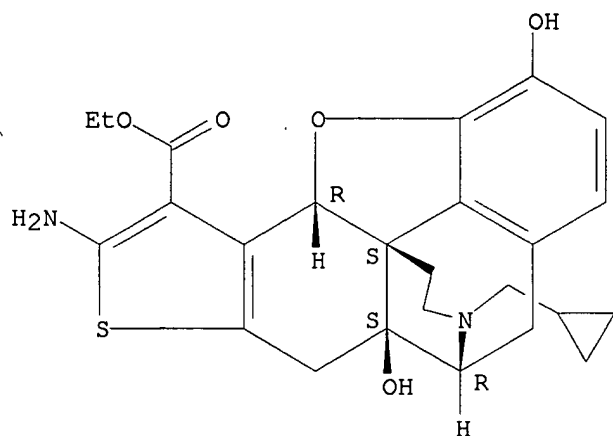
Absolute stereochemistry.



RN 326854-31-3 CAPLUS

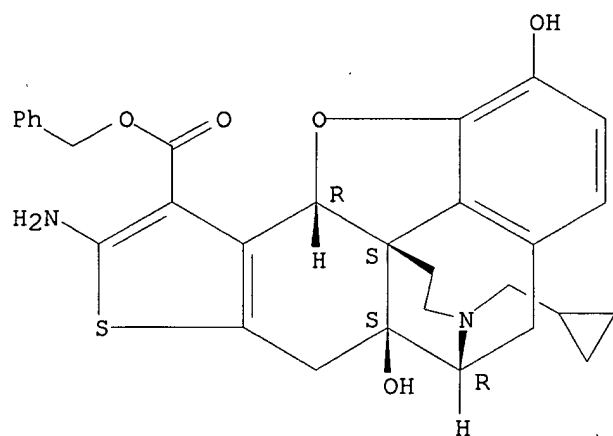
CN 4,8-Methano-5H-benzofuro[3,2-e]thieno[3,2-g]isoquinoline-12-carboxylic acid, 11-amino-7-(cyclopropylmethyl)-6,7,8,8a,9,12b-hexahydro-1,8a-dihydroxy-, ethyl ester, (4bS,8R,8aS,12bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



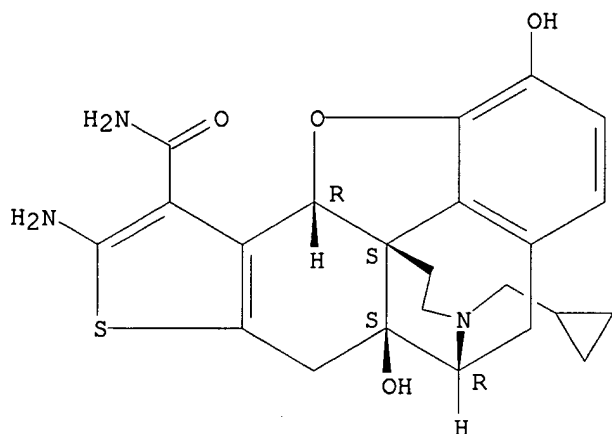
RN 326854-32-4 CAPLUS  
 CN 4,8-Methano-5H-benzofuro[3,2-e]thieno[3,2-g]isoquinoline-12-carboxylic acid, 11-amino-7-(cyclopropylmethyl)-6,7,8,8a,9,12b-hexahydro-1,8a-dihydroxy-, phenylmethyl ester, (4bS,8R,8aS,12bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 326854-33-5 CAPLUS  
 CN 4,8-Methano-5H-benzofuro[3,2-e]thieno[3,2-g]isoquinoline-12-carboxamide, 11-amino-7-(cyclopropylmethyl)-6,7,8,8a,9,12b-hexahydro-1,8a-dihydroxy-, (4bS,8R,8aS,12bR)- (9CI) (CA INDEX NAME)

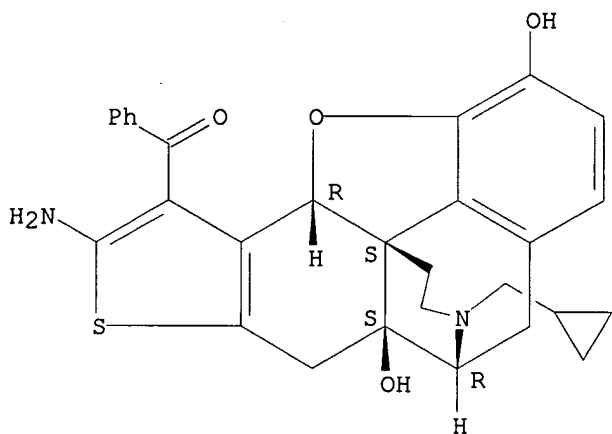
Absolute stereochemistry.



RN 326854-34-6 CAPLUS

CN Methanone, [(4bS,8R,8aS,12bR)-11-amino-7-(cyclopropylmethyl)-6,7,8,8a,9,12b-hexahydro-1,8a-dihydroxy-4,8-methano-5H-benzofuro[3,2-e]thieno[3,2-g]isoquinolin-12-yl]phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

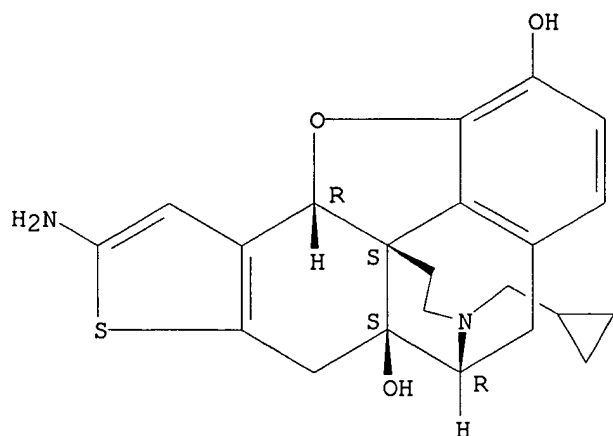


RN 326854-35-7 CAPLUS

CN 4,8-Methano-8aH-benzofuro[3,2-e]thieno[3,2-g]isoquinoline-12-carboxamid-1,8a-diol, 11-amino-7-(cyclopropylmethyl)-5,6,7,8,9,12b-hexahydro-, (4bS,8R,8aS,12bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatall

FILE 'USPATFULL' ENTERED AT 15:20:31 ON 15 JAN 2003

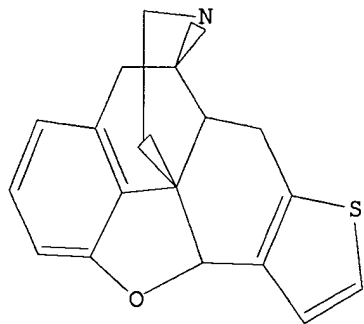
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=> d que

L4 STR



Structure attributes must be viewed using STN Express query preparation.

L6 7 SEA FILE=REGISTRY SSS FUL L4

L8 0 SEA L6